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(54) Title: METHOD OF PREPARING GARLIC OINTMENT AND GARLIC OINTMENT COMPOSITION FOR TOPICAL USE IN SKIN INFECTIONS

(57) Abstract: Garlic Ointment of the present invention is an effective antibacterial and antifungal ointment for tropical use in skin infections. The object of this ointment is to utilize all constituents of garlic to achieve maximum benefit. Allin and Allicin are stabilized in the ointment. The preparation comprises of freeze dried garlic powder together with a pharmaceutically acceptable carrier therefore. The composition may be applied topically in treatment of general skin infections.

TITLE: METHOD OF PREPARING GARLIC OINTMENT AND
GARLIC OINTMENT COMPOSITION FOR TOPICAL USE
IN SKIN INFECTIONS.

Technical Field:

5 The invention relates to 'Method of preparing Garlic
Ointment and Garlic-Ointment composition' for
external use on infected skin.

PRIOR ART/FIELD OF INVENTION:

10 Tablet, Oils, Kyolic forms are already available and
traditionally being used as chest plaster, chest rub,
compress cold/hot decoction, foot poultice, douche and
as nose drops.

15 The present preparation describes the method of
preparation of ointment of Garlic. Garlic has been
exploited for its medicinal properties since centuries
by people and physicians throughout the world. Garlic
contains atleast 400 compounds which are unique to it.
Of these 400 compounds, atleast 30 compounds are known
to have some or the other medicinal properties.

20 Most of the garlic compounds having medicinal properties
are derived from the breakdown of a compound known as
Allicin, Allicin is an active medicinal compound. The

compounds present in garlic have one or more than one of the following activities. These can be used as an antibiotic, detoxifying agent, as an antioxidant and for lowering of blood sugar and cholesterol levels. It can also be used for liver protection. These are the functions of the compounds which are identified and had been exploited. There are presently, many unidentified compounds whose functions has not been exploited. Therefore, it is advisable to use garlic as a whole, rather than using its active components selectively, to derive maximum benefits from the medicinal properties of the garlic.

Uses of garlic as medicine are quite wide, more than, what are known to the human beings. Garlic has been found to be a broad spectrum antibiotic and is effective in killing variety of gram positive and gram negative bacteria. It does posses a good antifungal and antiparasitic property.

Allicin, one of the main active ingredient of garlic is not a stable molecule. It tends to degrade in the presence of water, acid and base. Hence the conditions in which allicin is stable are limited. Garlic powder in the present invention is freeze dried to preserve its allicin content. Also there are different varieties of garlic being cultivated, which contains different allicin content.

An object of the present invention is to provide a new type of preparation of garlic which is useful for external use on the skin. Another object of the present invention is to provide a stable ointment preparation of garlic, which provide stability to allicin. Still further object of the invention is to provide a process for preparing a preparation as set forth above. These and other objects of the invention will be apparent from the following description.

10 A topically administrable composition of the invention will preferably be in the form of an ointment. In a further aspect the composition of the present invention will be in the form of a hydrophobic ointment. When the preparation is applied on the skin, it comes in
15 contact with water available on the surface of the skin in the form of perspiration and gets emulsified after rubbing with fingers. In the presence of water, allicin from the garlic is being released and aliin is also being converted into allicin. Suitable hydrophobic
20 ointments are those which are formed from white or yellow soft paraffin with liquid paraffin. The preparation of the present invention can be prepared by dispersing freeze dried garlic powder in the light liquid paraffin with continuous stirring to get
25 homogenous mixture of garlic powder and light liquid paraffin, and admixing the dispersion of garlic powder in liquid paraffin in a mixture of microcrystalline wax

and white soft paraffin at temperature between 40 to 45 °C. A mixture of microcrystalline wax and white soft paraffin is prepared by heating the mixture in hot water bath to 60-65 °C, and stirring continuously to get a homogenous mixture. A suitable perfume may be added to give pleasant smell to the preparation, after cooling down the above preparation to about 30 °C.

According to the invention, the method of preparing garlic ointment for topical use comprises of the following steps:-

(i) dispersing the freezed dried garlic powder in the light liquid paraffin with mechanical stirrer to get homogenous mixture of garlic powder and light liquid paraffin in the ratio of 1:5 to 7:10 (w/w %). Preferred ratio is 1.5:10.

(ii) mix microcrystalline wax in white soft paraffin in the ratio of 2:70 to 10:90 (w/w %). By heating the mixture in hot water bath and continuously stirring to get a homogenous mixture of microcrystalline wax and white soft paraffin at temperature ranges of 60-65 °C, preferred ratio is 5:83.4 (w/w %).

(iii) cooling the mixture prepared in step (ii) to 40 °C and adding the mixture of garlic powder and liquid paraffin prepared under step (i) above and stirring

continuously to get homogenous mixture, at a temperature of 40-45 °C.

(iv) cooling down the preparation of step (iii) to 30 °C and adding suitable perfume to give pleasant smell using a mechanical stirrer.

DESCRIPTION OF PREFERRED EMBODIMENTS:

The garlic ointment is based on the properties of garlic, and other constituents of the ointment only as a diluents. Freeze dried garlic powder acts as an antibacterial and antifungal agent. White soft paraffin, a purified mixture of semisolid saturated hydrocarbon, gives its emollient property to the preparation and acts as a carrier. Microcrystalline wax is used as a stiffening agent, thereby increasing the consistency of ointment. Light liquid paraffin, a purified mixture of liquid saturated hydrocarbon, gives its emollient property to the preparation and act as a carrier for dispersion of drug.

Following table shows the percentage weight of the constituents of garlic ointment which have been obtained experimentally and for maximum benefits.

Example 1.

Ingredient	Range (% w/w)	Preferred (% w/w)
Freeze dried garlic Powder.	1 - 7	1.50
White soft paraffin	70 - 90	83.40
5 crococrystalline wax	2 - 10	5.00
Light liquid paraffin	5 - 10	10.00
Perfume	0.05 - 0.15	0.10

STABILITY TESTING OF THE FORMULATION

Formulation as described in example I was tested under
 10 accelerated conditions for the stability of allicin in
 the composition. Composition A is the formulation
 disclosed in the invention and composition B is oil in
 water emulsion ointment composition.

Table 1

15	Ingredients	A	B
	Freeze dried Garlic powder	1.5 %	1.5 %
	White soft paraffin	83.5 %	15.0 %
	Light liquid paraffin	10.0 %	6.0 %
	Microcrystalline wax	5.0 %	--
20	Cetostearyl alcohol	-	7.2 %
	Cetomacrogol	-	1.8 %
	Glycerol	-	5.0 %
	Purified Water	-	q.s. to 100 %

Each composition was prepared as follows:

Composition A is prepared by dispersing freeze dried garlic powder in the light liquid paraffin with continuous stirring to get homogenous mixture of garlic powder and light liquid paraffin, and admixing the dispersion of garlic powder in liquid paraffin in a mixture of microcrystalline wax and white soft paraffin at temperature between 40 to 45 C. A mixture of microcrystalline wax and white soft paraffin is prepared by heating the mixture in hot water bath to 60-65 C, and stirring continuously to get a homogenous mixture.

Composition B is prepared by dispersing freeze dried garlic powder in glycerol to form a smooth homogeneous suspension and this is then added to the stirred water in the mixing vessel. Cetostearyl alcohol and paraffin are melted together and heated to 70 C. The molten mixture is added to the aqueous component with stirring. Stirring is gradually reduced as the mixture cools and thickens.

Table - 2

Allicin content on ageing at 25 C			

Time in months		A	B

5	0	0.0195 %	0.0186 %
	1	0.0192 %	0.0173 %
	2	0.0192 %	0.0161 %
	3	0.0190 %	0.0154 %
	6	0.0189 %	-

From the stability data, it is evident that composition of the present invention (A) is superior to composition B, which is a aqueous base preparation.

While the present invention has been described above with respect to several preferred embodiments thereof, it should of course be understood that it should not be limited only to them but various changes or modifications may be made in any acceptable manner without departing from the spirit and scope of invention as defined by the appended claims.

WE CLAIM:

1. A method of preparing ointment for topical use comprising the steps of:-

(i) dispersing the freezed dried garlic powder in the
5 light liquid paraffin with mechanical stirrer to get homogenous mixture of garlic powder and light liquid paraffin in the ratio of 1:5 to 7:10 (w/w %). Preferred ratio is 1.5:10.

(ii) mix microcrystalline wax in white soft paraffin
10 in the ratio of 2:70 to 10:90 (w/w %). By heating the mixture in hot water bath and continuously stirring to get a homogenous mixture of microcrystalline wax and white soft paraffin at temperature ranges of 60-65 °C, preferred ratio is 5:83.4 (w/w %).

15 (iii) cooling the mixture prepared in step (ii) to 40 °C and adding the mixture of garlic powder and liquid paraffin prepared under step (i) above and stirring continuously to get homogenous mixture, at a temperature of 40-45 °C.

20 (iv) cooling down the preparation of step (iii) to 30 °C and adding suitable perfume to give pleasant smell using a mechanical stirrer.

2. A method of preparing an ointment as claimed in claim 1 wherein the ratio (w/w %) of dried garlic powder to light liquid paraffin is 1:5 to 7:10 preferably 1.5:10.
- 5 3. A method of preparing an ointment as claimed in claim 1 wherein the ratio (w/w %) of microcrystalline wax to white soft paraffin is 2.70 to 10.90 preferably 5:83.4.
- 10 4. A method of preparing an ointment as claimed in claim 1 wherein step (iii) is carried out at temperature of 40 C.
5. A method of preparing an ointment as claimed in earlier claims wherein any suitable perfume is added at a temperature of 30 C and stirring continuously.
- 15 6. The garlic ointment composition for topical use substantially as herein described with reference to the forsaid examples.
7. A method of preparing ointment for topical use substantially as herein described with reference to the
20 examples described herein.

AMENDED CLAIMS

[received by the International Bureau on 24 May 2002 (24.05.02);
original claims 1, 2, 3 amended; original claims 4, 5, 6 and 7 cancelled;
new claims 8, 9, 10, 11 and 12 added (2 pages)]

Amended Claim 1. A method of preparing ointment for topical use comprising the steps of :-

- 5 (i) dispersing the freeze dried garlic powder in the light liquid paraffin in the ratio 1:5 to 7:10 (w/w%), stirring the mixture with a mechanical stirrer to obtain a homogenous mixture.
- 10 (ii) mixing microcrystalline wax in white soft paraffin in the ratio of 2:70 to 10:90 (w/w%), heating the mixture in hot water bath at temperature of 60° to 65° C and stirring the mixture continuously to obtain a homogenous mixture
- (iii) cooling the mixture prepared in the step (ii) to about 40° C and adding to it the mixture obtained at step (i), stirring the mixtures to obtain a homogenous mixture maintaining the temperature at 40-45° C.
- 15 (iv) cooling the mixture to about 30° C and adding desired quantity of perfume, stirring the mixture to obtain the final product.

Amended Claim 2. A method of preparing ointment according to claim 1 wherein the ratio of dried garlic powder to light liquid paraffin is 1.5:10. (w/w%).

20 Amended Claim 3. A method of preparing ointment according to in claim 1 wherein the ratio of microcrystalline wax to white soft paraffin is 5:83.4 (w/w%).